10525264

specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:41:51 ON 29 JAN 2007

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:42:05 ON 29 JAN 2007
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 JAN 2007 HIGHEST RN 918629-37-5
DICTIONARY FILE UPDATES: 28 JAN 2007 HIGHEST RN 918629-37-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10525264.str

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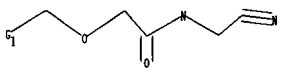
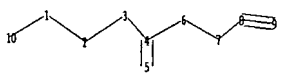
10525264





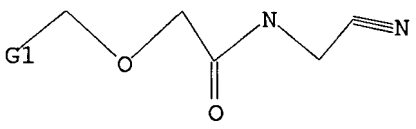
chain nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
1-2 1-10 2-3 3-4 4-5 4-6 6-7 7-8 8-9
exact/norm bonds :
1-2 1-10 2-3 4-5 4-6 6-7 8-9
exact bonds :
3-4 7-8

G1:Cb,Cy,Hy

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 15:42:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4156 TO ITERATE

100.0% PROCESSED 4156 ITERATIONS 272 ANSWERS

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10525264

SEARCH TIME: 00.00.01

L2 273 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 15:42:40 ON 29 JAN 2007
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FILE COVERS 1907 - 29 Jan 2007 VOL 146 ISS 6
FILE LAST UPDATED: 28 Jan 2007 (20070128/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
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=> s l2
L3 5 L2

=> d ibib abs hitstr tot

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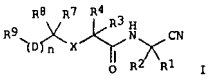
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:220304 CAPLUS
DOCUMENT NUMBER: 140:270877
TITLE: Preparation of heterocyclic-substituted amides as cathepsin cysteine protease inhibitors
INVENTOR(S): Boyd, Michael; Gagnon, Marc; Lau, Cheuk; Mellon, Christopher Scheigetz, John
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022526	A1	20040318	WO 2003-CA1346	20030903
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2495939	A1	20040318	CA 2003-2495939	20030903
AU 2003266052	A1	20040329	AU 2003-266052	20030903
EP 1537074	A1	20050608	EP 2003-793540	20030903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005537326	T	20051208	JP 2004-533125	20030903
US 2006122268	A1	20060608	US 2005-525264	20050222
PRIORITY APPLN. INFO.:			US 2002-408064P P	20020904
			WO 2003-CA1346 W	20030903

OTHER SOURCE(S): MARPAT 140:270877
GI



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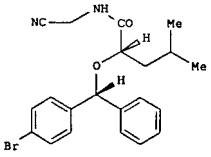


II

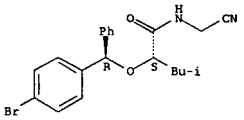
AB Title compds. I [R1-4 = H, alkyl, alkenyl,etc.; X = O, S, SO2, alkyl; R7-8 = H, alk(en/yn)yl, haloalkyl, alkoxy, NO2, CN, etc.; D = (hetero)aryl, cycloalkyl, etc.; R9 = H, OH, CN, alkyl, etc.; n = 0-3] are prepared For instance, (5S)-2-(4-bromophenyl)-5-isobutyl-1,3-dioxolan-4-one (preparation given) is reacted with PhMgBr (Et2O, ZnCl2, -40°) and the resulting carboxylic acid coupled to aminoacetonitrile (DMF, HATU, Et3N) to give II. I are cysteine protease inhibitors, including but not limited to, inhibitors of cathepsins K, L, S and B and are useful for treating diseases in which inhibition of bone resorption is indicated, such as osteoporosis. They have the following structure: Formula (I).

IT 672328-08-4P 672328-15-3P 672328-19-7P
672328-22-2P 672328-25-5P, (2S)-2-[[(1R)-1-(4-Bromophenyl)-2-(4-chlorophenyl)ethyl]oxy]-N-(cyanomethyl)-4-methylpentanamide 672328-52-8P, N-(Cyanomethyl)-4-methyl-2-[phenyl[4-(piperazin-1-ylcarbonyl)phenyl]methoxy]pentanamide
672328-55-1P 672328-63-1P, 2-[(4-Bromophenyl)(1,3-thiazol-2-yl)methoxy]-N-(cyanomethyl)-4-methylpentanamide
672328-69-7P 672328-81-3P 672328-86-8P
672328-87-9P 672328-93-7P 672328-94-8P
672328-98-2P 672329-00-9P 672329-02-1P
672329-07-6P 672329-08-7P 672329-13-4P,
2-[1-(4-Bromophenyl)-2,2,2-trifluoroethoxy]-N-(cyanomethyl)-4-methylpentanamide 672329-14-5P 674784-52-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclic-substituted amides as cathepsin cysteine protease inhibitors)

RN 672328-08-4 CAPLUS
CN Pentanamide, 2-[(R)-(4-bromophenyl)phenylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

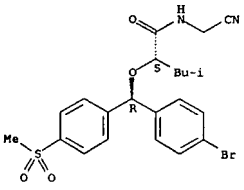
L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



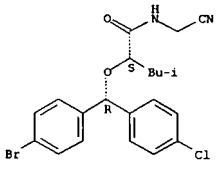
RN 672328-15-3 CAPLUS
CN Pentanamide, 2-[(R)-(4-bromophenyl)[4-(methylsulfonyl)phenyl]methoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-19-7 CAPLUS
CN Pentanamide, 2-[(R)-(4-bromophenyl)(4-chlorophenyl)methoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

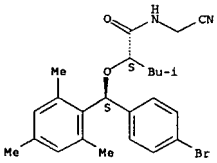
Absolute stereochemistry.



RN 672328-22-2 CAPLUS

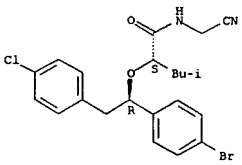
Absolute stereochemistry.

L3 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

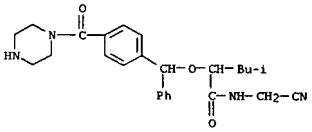


RN 672328-25-5 CAPLUS
CN Pentanamide, 2-[(1R)-1-(4-bromophenyl)-2-(4-chlorophenyl)ethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 672328-52-8 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[phenyl[4-(1-piperazinylcarbonyl)phenyl]methoxy]- (9CI) (CA INDEX NAME)



RN 672328-55-1 CAPLUS
CN Pentanamide, 2-[(S)-(4-bromophenyl)-2-thienylmethoxy]-N-(cyanomethyl)-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:572598 CAPLUS
DOCUMENT NUMBER: 143:97209
TITLE: Synthesis of epothilones for use in pharmaceutical compositions as antitumor agents
INVENTOR(S): Danishefsky, Samuel J.; Rivkin, Alexey; Yoshimura, Fumihiko; Chou, Ting-Chao; Gabarda, Ana E.; Dong, Huajin; Wu, Kaida; Moore, Malcolm A. S.; Dorn, David
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 274 pp., Cont.-in-part of U.S. Ser. No. 435,408.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005143429	A1	20050630	US 2004-921109	20040818
US 2004053995	A1	20040318	US 2003-402004	20030328
US 6921769	B2	20050726		
US 2004053910	A1	20040318	US 2003-435408	20030509
AU 2005218308	A1	20050915	AU 2005-218308	20050228
CA 2556692	A1	20050915	CA 2005-2556692	20050228
WO 2005084222	A2	20050915	WO 2005-US6051	20050228
WO 2005084222	A3	20051124		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1722791	A2	20061122	EP 2005-723768	20050228

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

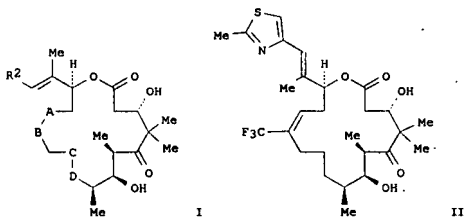
PRIORITY APPLN. INFO.:

US 2002-405823P	P	20020823
US 2002-408589P	P	20020906
US 2002-423129P	P	20021101
US 2003-456159P	P	20030320
US 2003-402004	A2	20030328
US 2003-435408	A2	20030509
US 2003-496741P	P	20030821

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2004-548402P	P	20040227
US 2004-921109	A	20040818
WO 2005-US6051	W	20050228

OTHER SOURCE(S): MARPAT 143:97209
GI



I II

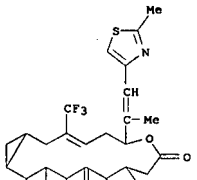
AB Epothilone analogs, such as I [-A-B-, -C-D- = -C.tplbond.C-, -CH(R)CH(R1)-, -C(R):C(R1)-; R, R1 = H, alkyl, halogen, alkoxy, acyl, etc.; -A-B- = fused oxirane ring; -C-D- = fused cyclopropane or fused aziridine ring; R2 = aryl, heteroaryl, arylalkyl, heteroarylalkyl] are prepared as antitumor agents. The present invention also provides pharmaceutical compns. comprising compds. of formula I and provides methods of treating cancer comprising administering a compound of formula I.

Thus, II was prepared via an intramol. methathesis macrocyclization synthetic sequence and showed good cell growth inhibition against various drug-resistant tumors.

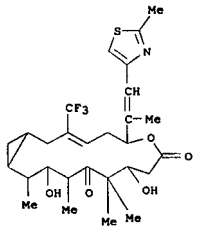
IT 856240-85-2P 856240-86-3P 856240-87-4P
856240-89-6P 856240-91-0P 856240-92-1P
856240-93-2P 856240-94-3P 856240-95-4P
856240-96-5P 856240-97-6P 856240-98-7P
856240-99-8P 856241-00-4P 856241-01-5P
856241-02-6P 856241-03-7P 856241-04-8P
856241-05-9P 856241-06-0P 856241-07-1P
856241-08-2P 856241-10-6P 856241-11-7P
856453-50-4P 856453-52-6P 856453-54-8P
856453-56-0P 856453-57-1P 856453-58-2P
856453-59-3P 856453-60-6P 856453-61-7P
856453-62-8P 856453-65-1P 856453-67-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(claimed compd.; synthesis of epothilone derivs. for use in pharmaceutical compns. as antitumor agents)

RN 856240-85-2 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-11,11,13,15-tetramethyl-6-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-3-(trifluoromethyl)-, (1R,3E,6S,10S,13R,14S,15S,16S)- (9CI) (CA INDEX NAME)

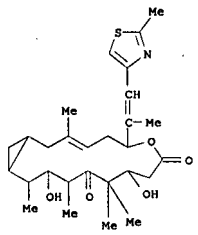


RN 856240-86-3 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-11,11,13,15-tetramethyl-6-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-3-(trifluoromethyl)-, (1S,3E,6S,10S,13R,14S,15S,16R)- (9CI) (CA INDEX NAME)

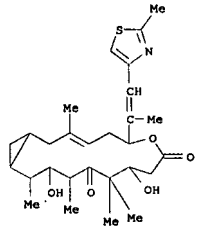


RN 856240-87-4 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-3,11,11,13,15-pentamethyl-6-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1R,3Z,6S,10S,13R,14S,15S,16S)- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 856240-89-6 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-3,11,11,13,15-pentamethyl-6-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3Z,6S,10S,13R,14S,15S,16R)- (9CI) (CA INDEX NAME)



RN 856240-91-0 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-12,12,14,16-tetramethyl-7-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-3-(trifluoromethyl)-, (1R,3R,5S,7S,11S,14R,15S,16S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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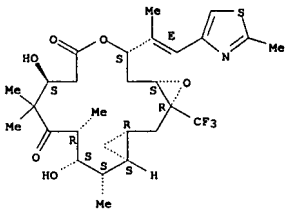
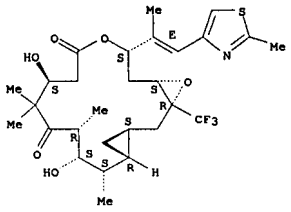
L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 856240-92-1 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-12,12,14,16-tetramethyl-7-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-3-(trifluoromethyl)-, (1S,3R,5S,7S,11S,14R,15S,16S,17R)- (9CI) (CA INDEX NAME)

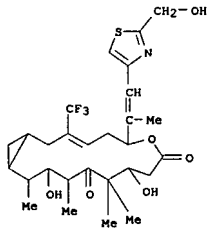
Absolute stereochemistry.
Double bond geometry as shown.



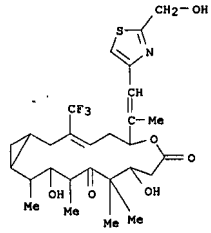
RN 856240-93-2 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-3,12,12,14,16-pentamethyl-7-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1R,3R,5S,7S,11S,14R,15S,16S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



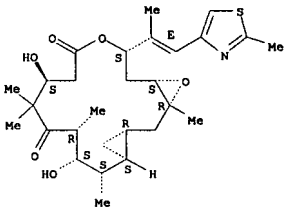
RN 856240-96-5 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-6-[(1E)-2-[2-(hydroxymethyl)-4-thiazolyl]-1-methylethenyl]-11,11,13,15-tetramethyl-3-(trifluoromethyl)-, (1S,3E,6S,10S,13R,14S,15S,16R)- (9CI) (CA INDEX NAME)



RN 856240-97-6 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-7-[(1E)-2-[2-(hydroxymethyl)-4-thiazolyl]-1-methylethenyl]-12,12,14,16-tetramethyl-3-(trifluoromethyl)-, (1R,3R,5S,7S,11S,14R,15S,16S,17S)- (9CI) (CA INDEX NAME)

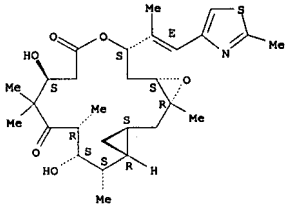
Absolute stereochemistry.
Double bond geometry as shown.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



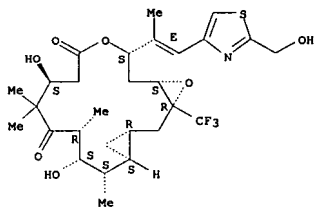
RN 856240-94-3 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-3,12,12,14,16-pentamethyl-7-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3R,5S,7S,11S,14R,15S,16S,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



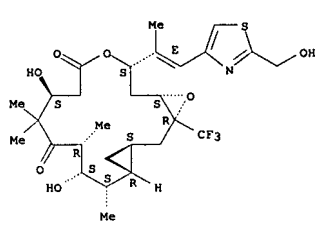
RN 856240-95-4 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-6-[(1E)-2-[2-(hydroxymethyl)-4-thiazolyl]-1-methylethenyl]-11,11,13,15-tetramethyl-3-(trifluoromethyl)-, (1R,3E,6S,10S,13R,14S,15S,16S)- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



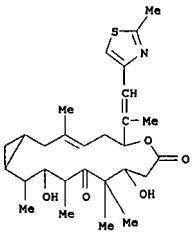
RN 856240-98-7 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-7-[(1E)-2-[2-(hydroxymethyl)-4-thiazolyl]-1-methylethenyl]-12,12,14,16-tetramethyl-3-(trifluoromethyl)-, (1S,3R,5S,7S,11S,14R,15S,16S,17R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

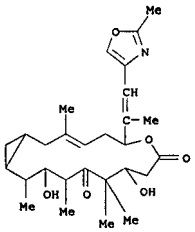


RN 856240-99-8 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-3,11,11,13,15-pentamethyl-6-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (3Z,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

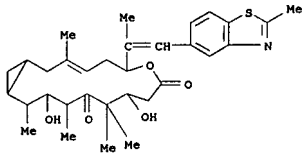


RN 856241-00-4 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-3,11,11,13,15-pentamethyl-6-[(1E)-1-methyl-2-(2-methyl-4-oxazolyl)ethenyl]-, (3Z,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)

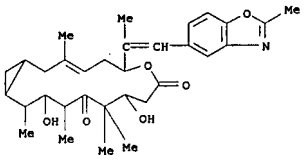


RN 856241-01-5 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-6-[(1E)-2-[2-(hydroxymethyl)-4-thiazolyl]-1-methylethenyl]-3,11,11,13,15-pentamethyl-, (3Z,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)

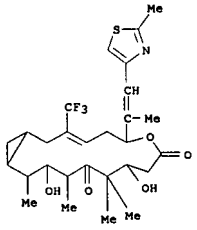
L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 856241-04-8 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-3,11,11,13,15-pentamethyl-6-[(1E)-1-methyl-2-(2-methyl-5-benzoxazolyl)ethenyl]-, (3Z,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)



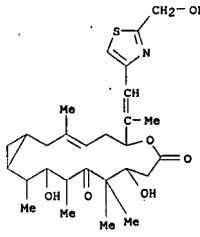
RN 856241-05-9 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-11,11,13,15-tetramethyl-6-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-3-(trifluoromethyl)-, (3E,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)



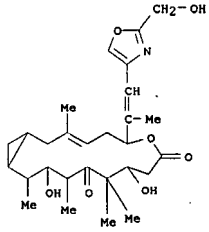
RN 856241-06-0 CAPLUS

Karen Cheng

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



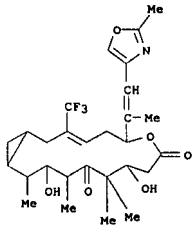
RN 856241-02-6 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-6-[(1E)-2-[2-(hydroxymethyl)-4-oxazolyl]-1-methylethenyl]-3,11,11,13,15-pentamethyl-, (3Z,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)



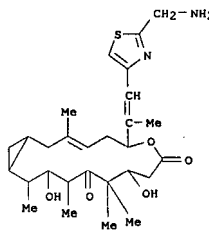
RN 856241-03-7 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-3,11,11,13,15-pentamethyl-6-[(1E)-1-methyl-2-(2-methyl-5-benzothiazolyl)ethenyl]-, (3Z,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-11,11,13,15-tetramethyl-6-[(1E)-1-methyl-2-(2-methyl-4-oxazolyl)ethenyl]-3-(trifluoromethyl)-, (3E,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)

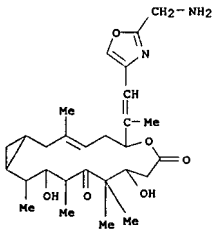


RN 856241-07-1 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 6-[(1E)-2-[2-(aminomethyl)-4-thiazolyl]-1-methylethenyl]-10,14-dihydroxy-3,11,11,13,15-pentamethyl-, (3Z,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)

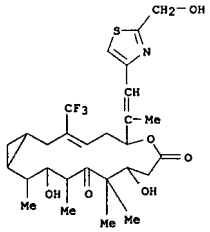


RN 856241-08-2 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 6-[(1E)-2-[2-(aminomethyl)-4-oxazolyl]-1-methylethenyl]-10,14-dihydroxy-3,11,11,13,15-pentamethyl-, (3Z,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

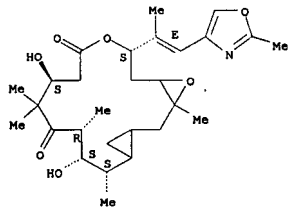


RN 856241-10-6 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-6-[(1E)-2-[2-(hydroxymethyl)-4-thiazolyl]-1-methylethenyl]-11,11,13,15-tetramethyl-3-(trifluoromethyl)-, (3E,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)



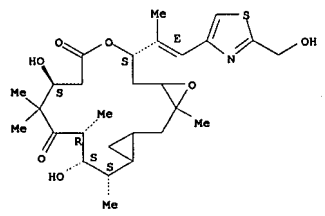
RN 856241-11-7 CAPLUS
CN 7-Oxabicyclo[14.1.0]heptadec-3-ene-8,12-dione, 10,14-dihydroxy-6-[(1E)-2-[2-(hydroxymethyl)-4-oxazolyl]-1-methylethenyl]-11,11,13,15-tetramethyl-3-(trifluoromethyl)-, (3E,6S,10S,13R,14S,15S)- (9CI) (CA INDEX NAME)

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 856453-54-8 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-7-[(1E)-2-[2-(hydroxymethyl)-4-thiazolyl]-1-methylethenyl]-3,12,12,14,16-pentamethyl-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

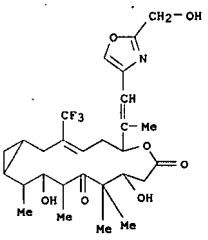
Absolute stereochemistry.
Double bond geometry as shown.



RN 856453-56-0 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-7-[(1E)-2-[2-(hydroxymethyl)-4-oxazolyl]-1-methylethenyl]-3,12,12,14,16-pentamethyl-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

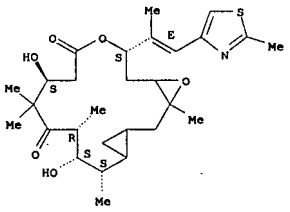
Absolute stereochemistry.
Double bond geometry as shown.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 856453-50-4 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-3,12,12,14,16-pentamethyl-7-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

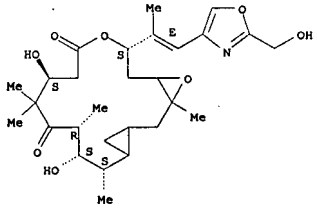
Absolute stereochemistry.
Double bond geometry as shown.



RN 856453-52-6 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-3,12,12,14,16-pentamethyl-7-[(1E)-1-methyl-2-(2-methyl-4-oxazolyl)ethenyl]-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

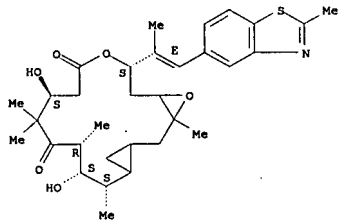
Absolute stereochemistry.
Double bond geometry as shown.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 856453-57-1 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-3,12,12,14,16-pentamethyl-7-[(1E)-1-methyl-2-(2-methyl-5-benzothiazolyl)ethenyl]-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

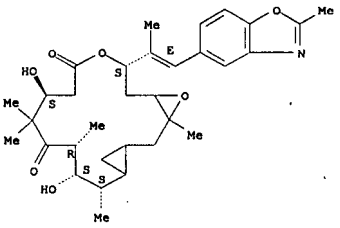
Absolute stereochemistry.
Double bond geometry as shown.



RN 856453-58-2 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-3,12,12,14,16-pentamethyl-7-[(1E)-1-methyl-2-(2-methyl-5-benzoxazolyl)ethenyl]-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

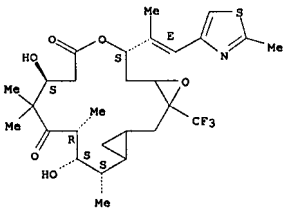
Absolute stereochemistry.
Double bond geometry as shown.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 856453-59-3 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-12,12,14,16-tetramethyl-7-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-3-(trifluoromethyl)-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

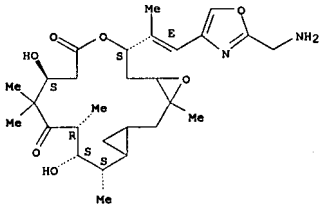
Absolute stereochemistry.
Double bond geometry as shown.



RN 856453-60-6 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-12,12,14,16-tetramethyl-7-[(1E)-1-methyl-2-(2-methyl-4-oxazolyl)ethenyl]-3-(trifluoromethyl)-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

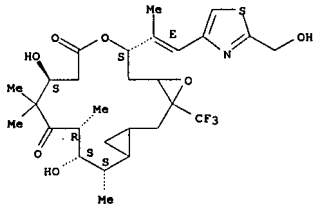
Absolute stereochemistry.
Double bond geometry as shown.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 856453-65-1 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-7-[(1E)-2-[2-(hydroxymethyl)-4-thiazolyl]-1-methylethenyl]-12,12,14,16-tetramethyl-3-(trifluoromethyl)-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

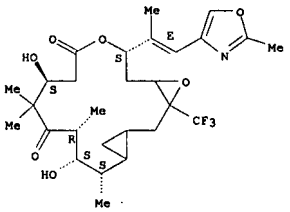
Absolute stereochemistry.
Double bond geometry as shown.



RN 856453-67-3 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 11,15-dihydroxy-7-[(1E)-2-[2-(hydroxymethyl)-4-oxazolyl]-1-methylethenyl]-12,12,14,16-tetramethyl-3-(trifluoromethyl)-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

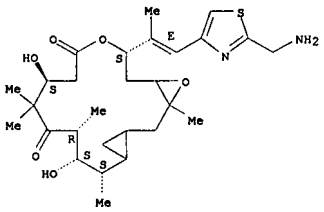
Absolute stereochemistry.
Double bond geometry as shown.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 856453-61-7 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 7-[(1E)-2-[2-(aminomethyl)-4-thiazolyl]-1-methylethenyl]-11,15-dihydroxy-3,12,12,14,16-pentamethyl-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

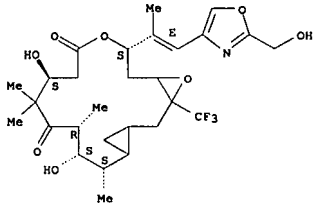
Absolute stereochemistry.
Double bond geometry as shown.



RN 856453-62-8 CAPLUS
CN 4,8-Dioxatricyclo[15.1.0.03,5]octadecane-9,13-dione, 7-[(1E)-2-[2-(aminomethyl)-4-oxazolyl]-1-methylethenyl]-11,15-dihydroxy-3,12,12,14,16-pentamethyl-, (7S,11S,14R,15S,16S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:964345 CAPLUS
DOCUMENT NUMBER: 138:24952
TITLE: Preparation of novel amino nitriles useful as reversible inhibitors of cysteine proteases
INVENTOR(S): Hickey, Eugene R.; Bekkali, Younes; Patel, Usha R.; Spero, Denice M.; Thomson, David S.; Young, Erick R. R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100849	A2	20021219	WO 2002-US17590	20020605
WO 2002100849	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003119827	A1	20030626	US 2002-163015	20020604
US 6982263	B2	20060103		
CA 2449192	A1	20021219	CA 2002-2449192	20020605
EP 1399431	A2	20040324	EP 2002-741825	20020605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005501017	T	20050113	JP 2003-503617	20020605
PRIORITY APPLN. INFO.:			US 2001-296863P	P 20010608
			WO 2002-US17590	W 20020605

OTHER SOURCE(S): MARPAT 138:24952

AB Novel nitrile compds. YCO2CR2R3C(:X)NR6CR4R5CN [Y = R1, R1O, R1S, R12N, R13C, where R1 = H, (un)substituted (cyclo)alkyl, aryl, benzyl, tetrahydronaphthyl, indenyl, indanyl, alkylsulfonylalkyl, cycloalkylsulfonylalkyl, arylsulfonylalkyl, heterocyclyl, or heteroaryl; R2-R5 = H, (un)substituted (cyclo)alkyl, aryl, etc. or CR2R3 and CR4R5 may form rings; R6 = H, OH, or (cyclo)alkyl; X = O or S (with provisos)] or their pharmaceutically-acceptable derivs. were prepared as reversible inhibitors of cysteine proteases such as cathepsin K, S, F, L and B for treating diseases and pathol. conditions exacerbated by these proteases such as osteoporosis, rheumatoid arthritis, multiple sclerosis, asthma and other autoimmune diseases, Alzheimer's disease, and atherosclerosis. Thus, morpholine-4-carboxylic acid 1-[[(benzyloxymethyl)cyanomethyl]carbamoyl]-3-methylbutyl ester was prepared from N-(tert-butoxycarbonyl)-O-benzyl-L-serine, 2-Hydroxyisocaproic acid, and 4-morpholinecarbonyl chloride.

IT 478279-49-1P 478279-54-8P 478280-11-4P
478280-12-5P 478280-13-6P 478280-22-7P

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

478280-23-8P 478280-24-9P 478280-30-7P
478280-34-1P 478280-36-3P 478280-37-4P
478280-52-3P 478280-53-4P 478280-54-5P
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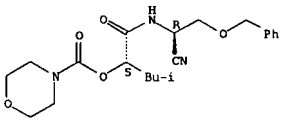
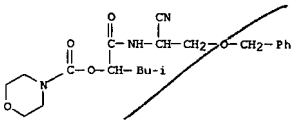
478281-88-8P 478281-89-9P 478281-90-2P
478281-91-3P 478281-92-4P 478281-93-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel amino nitriles as reversible inhibitors of cysteine proteases)

RN 478279-49-1 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-1-[[[(1R)-1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

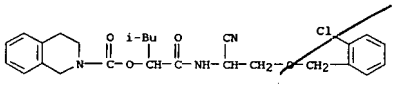
Absolute stereochemistry.



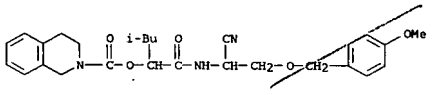
RN 478279-54-8 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



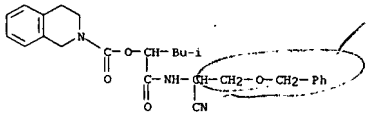
RN 478280-11-4 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[2-[(2-chlorophenyl)methoxy]-1-cyanoethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



RN 478280-12-5 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-[(4-methoxyphenyl)methoxy]ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

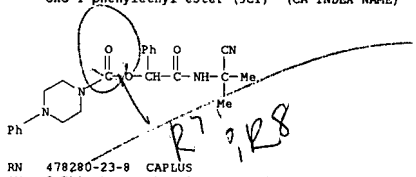


RN 478280-13-6 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



should be C1-6 alkyl or C1-6 alkoxy subst. w/ halo

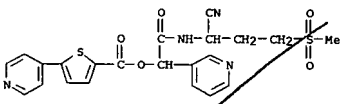
RN 478280-22-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-phenyl-, 2-[(1-cyano-1-methylethyl)amino]-2-oxo-1-phenylethyl ester (9CI) (CA INDEX NAME)



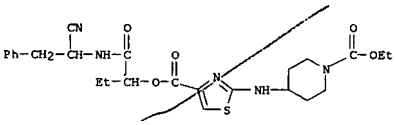
R7 ? R8

RN 478280-23-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-(4-pyridinyl)-, 2-[[1-cyano-2-

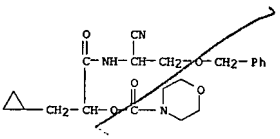
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



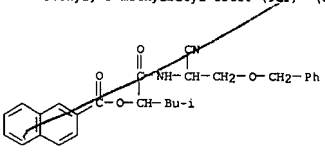
RN 478280-24-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[4-[[1-[[(1-cyano-2-phenylethyl)amino]carbonyl]propoxy]carbonyl]-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 478280-30-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(cyclopropylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)



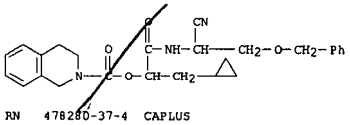
RN 478280-34-1 CAPLUS
CN 2-Naphthalenecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



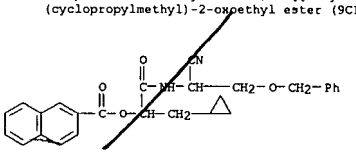
(phenylmethoxy)ethyl]amino]-1-(cyclopropylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Karen Cheng

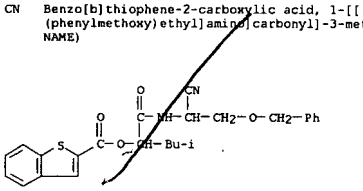
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



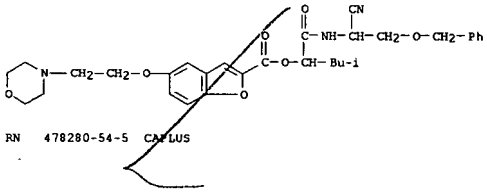
RN 478280-37-4 CAPLUS
CN 2-Naphthalenecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(cyclopropylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478280-52-3 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

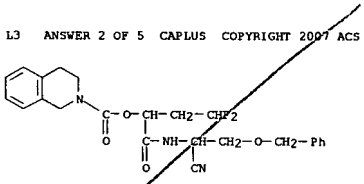


RN 478280-53-4 CAPLUS
CN 2-Benzofurancarboxylic acid, 5-[2-(4-morpholinyl)ethoxy]-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

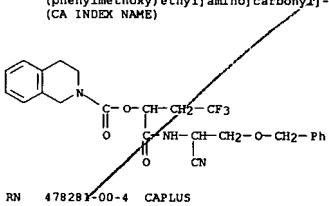


RN 478280-54-5 CAPLUS

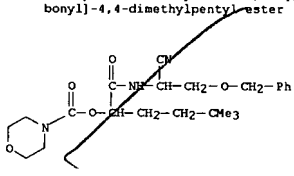
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



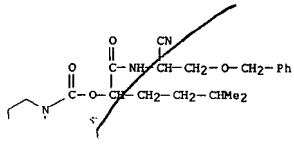
RN 478280-58-9 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3,3,3-trifluoropropyl ester (9CI) (CA INDEX NAME)



RN 478281-00-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-4,4-dimethylpentyl ester (9CI) (CA INDEX NAME)

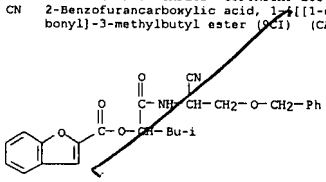


RN 478281-03-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-4-methylpentyl ester (9CI) (CA INDEX NAME)

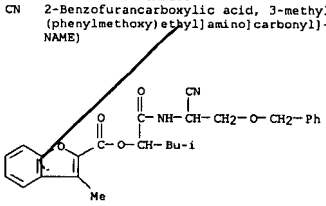


RN 478281-06-0 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]car

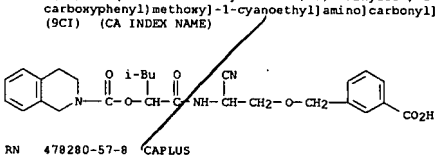
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 2-Benzofurancarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



RN 478280-55-6 CAPLUS
CN 2-Benzofurancarboxylic acid, 3-methyl-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

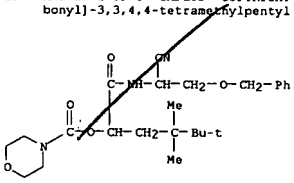


RN 478280-56-7 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[2-[(3-carboxyphenyl)methoxy]-1-cyanoethyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)

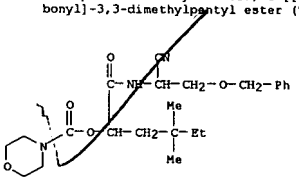


RN 478280-57-8 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3,3-difluoropropyl ester (9CI) (CA INDEX NAME)

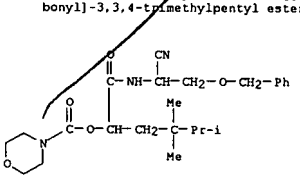
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
bonyl]-3,3,4,4-tetramethylpentyl ester (9CI) (CA INDEX NAME)



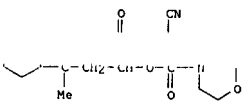
RN 478281-09-3 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3,3-dimethylpentyl ester (9CI) (CA INDEX NAME)



RN 478281-12-8 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3,3,4-trimethylpentyl ester (9CI) (CA INDEX NAME)

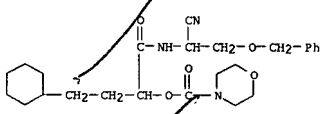


RN 478281-15-1 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-cyclohexyl-3-methylbutyl ester (9CI) (CA INDEX NAME)

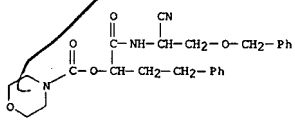


L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

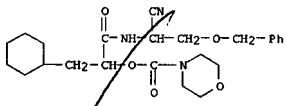
RN 478281-18-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-cyclohexylpropyl ester (9CI) (CA INDEX NAME)



RN 478281-21-9 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-phenylpropyl ester (9CI) (CA INDEX NAME)

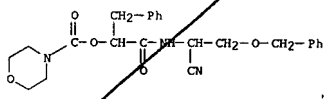


RN 478281-25-3 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

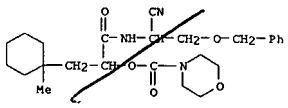


RN 478281-28-6 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-2-oxo-1-(phenylmethyl)ethyl ester (9CI) (CA INDEX NAME)

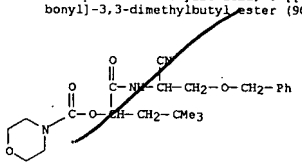
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



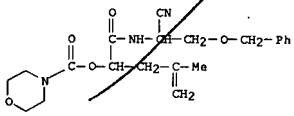
RN 478281-31-1 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(1-methylcyclohexyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478281-34-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3,3-dimethylbutyl ester (9CI) (CA INDEX NAME)

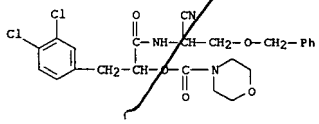


RN 478281-37-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)

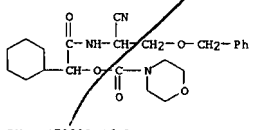


RN 478281-40-2 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-

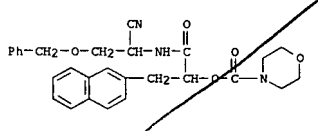
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
[(3,4-dichlorophenyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



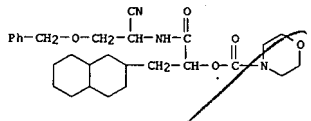
RN 478281-43-5 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-cyclohexyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478281-46-8 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(2-naphthalenylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

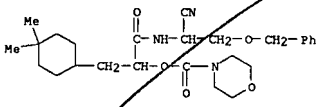


RN 478281-49-1 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(decahydro-2-naphthalenyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

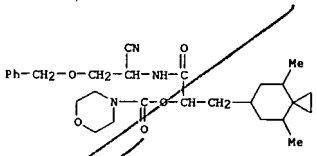


[(4,4-dimethylcyclohexyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

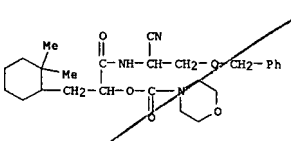
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



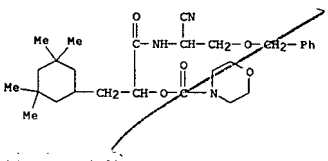
RN 478281-55-9 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(4,8-dimethylspiro[2.5]oct-6-yl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478281-58-2 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(2,2-dimethylcyclohexyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478281-61-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-2-oxo-1-[(3,3,5,5-tetramethylcyclohexyl)methyl]ethyl ester (9CI) (CA INDEX NAME)



CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(2,3-dihydro-1H-inden-1-yl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

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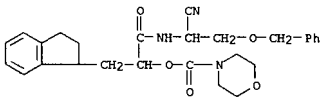
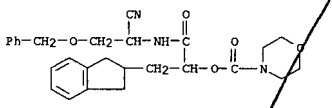
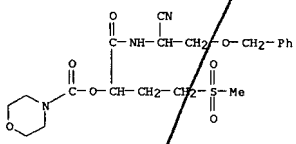
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



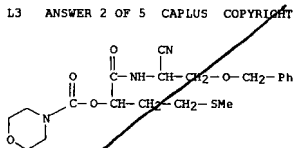
RN 478281-67-3 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(2,3-dihydro-1H-inden-2-yl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)



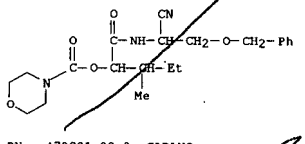
RN 478281-70-8 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-(methylsulfonyl)propyl ester (9CI) (CA INDEX NAME)



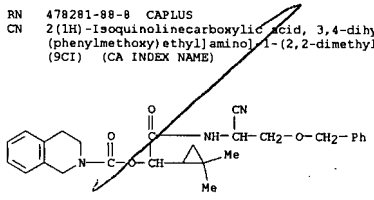
RN 478281-73-1 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(1-naphthalenylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)



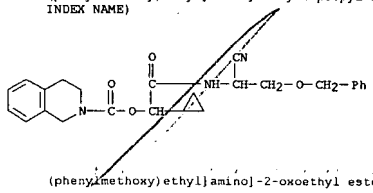
RN 478281-85-5 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-2-methylbutyl ester (9CI) (CA INDEX NAME)



RN 478281-88-8 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-(2,2-dimethylcyclopropyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478281-89-9 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-cyclopropyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



(phenylmethoxy)ethyl]amino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

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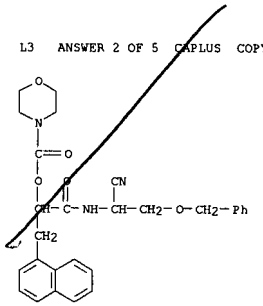
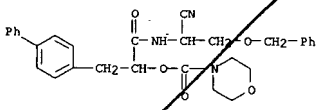
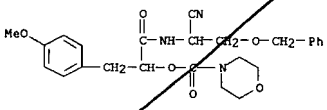
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 478281-76-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-2-oxoethyl ester (9CI) (CA INDEX NAME)

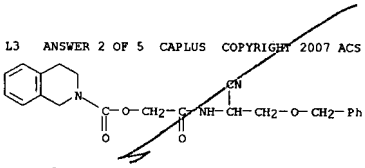


RN 478281-79-7 CAPLUS
CN 4-Morpholinecarboxylic acid, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-[(4-methoxyphenyl)methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

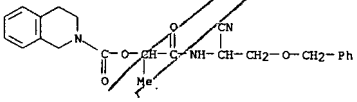


RN 478281-82-2 CAPLUS
CN 4-Morpholinecarboxylic acid, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]-3-(methylthio)propyl ester (9CI) (CA INDEX NAME)

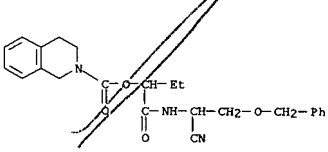
L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



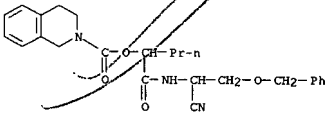
RN 478281-91-3 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 2-[[1-cyano-2-(phenylmethoxy)ethyl]amino]-1-methyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



RN 478281-92-4 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]propyl ester (9CI) (CA INDEX NAME)



RN 478281-93-5 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3,4-dihydro-, 1-[[[1-cyano-2-(phenylmethoxy)ethyl]amino]carbonyl]butyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:946262 CAPLUS
DOCUMENT NUMBER: 138:24946
TITLE: Preparation of amide compounds and compositions as selective cathepsin S inhibitors
INVENTOR(S): Graupe, Michael; Li, Jiayao; Link, John O.; Zipfel, Sheila; Timm, Andreas P.; Aldous, David J.; Thurairatnam, Sukanthini
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA; Aventis Pharmaceuticals Inc.
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098850	A2	20021212	WO 2002-US17411	20020603
WO 2002098850	A3	20030424		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1397340	A2	20040317	EP 2002-734640	20020603
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BR 2002010912	A	20040831	BR 2002-10912	20020603
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ZA 2003008392	A	20050128	ZA 2003-8392	20031028
US 2004142999	A1	20040722	US 2003-719080	20031121
IN 2003CN01887	A	20060106	IN 2003-CN1887	20031201
PRIORITY APPLN. INFO.:			US 2001-295301P P	20010601
			WO 2002-US17411 W	20020603
OTHER SOURCE(S):	MARPAT 138:24946			

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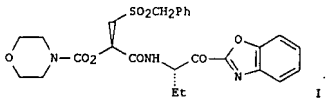
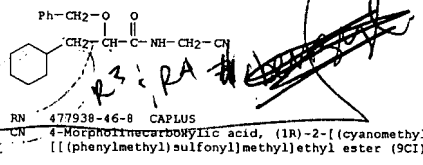


I

AB The invention relates to compds. R3C(X2)(X7)CO-X1 [X1 = NHC(R1)(R2)X3 or NHX4; X2 = H, F, OH, OR4, NHR15, or NR17R18; X7 = H or X2 = X7 = F; R3 = alkyl or CR62X6; X3 = cyano, CR7R8R16, CR6(OR6)2, CH2COR16, CH:CHSO2R5, COCF2CONR52, COCONR5R6, COCO2R5, COCH2OR5, COCH2NR6SO2R5, or COCOR5; where R5 is H or (un)substituted alkyl; R6 is H, OH or NR5R6 is a ring; R7 is H, alkyl and R8 is OH or CR7R8 are oxo; R16 is H, X4, CF3, NR6OR6, etc.; X4 comprises a heteromono- or -bicyclic ring; R1 = H, alkyl; R2 = H, cyano; R2 = H, cyano, -X5-NR122, -X5-NR12COR12, etc., where X5 is a bond or alkylene and R12 is H, alkyl, or haloalkyl; or CR1R2 may form a ring; R4 = alkylene-NR122, alkylene-NR12-COR12, etc.; X6 = -X5-NR122, -X5-NR12COR12, etc.; R15 = H, alkyl; R17, R18 = (un)substituted alkyl (with provisos)] and their pharmaceutically acceptable salts and N-oxides as selective cathepsin S inhibitors for use as therapeutic agents. Thus, ester I was prepared via amide coupling reaction and showed Ki .ltorsim. 0.01 μM for inhibition of cathepsin S.

IT 477938-42-4P 477938-46-8P 477938-71-9P
477938-85-5P 477938-86-6P 477938-87-7P
477938-88-8P 477938-92-4P 477938-94-6P
477938-96-8P 477938-97-9P 477938-98-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amide compds. and compns. as selective cathepsin S inhibitors)

RN 477938-42-4 CAPLUS
CN Cyclohexanepropanamide, N-(cyanomethyl)-α-(phenylmethoxy)- (9CI) (CA INDEX NAME)



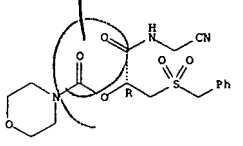
RN 477938-46-8 CAPLUS
CN 4-Morpholinecarboxylic acid, (1R)-2-[(cyanomethyl)amino]-2-oxo-1-[[(phenylmethyl)sulfonyl]methyl]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

R1 & R2 can not be =O

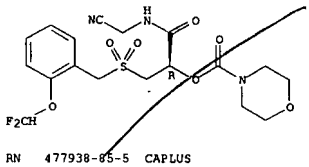
R1 & R2 = H
R3 = H
R4 = C1 alkyl subst w/ C6 cycloalkyl
X=O, R7 & R8 = H
D = aryl, n=1
R9 = H

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



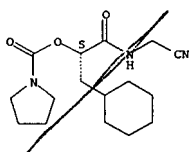
RN 477938-71-9 CAPLUS
CN 4-Morpholinecarboxylic acid, (1R)-2-[(cyanomethyl)amino]-1-[[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477938-85-5 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

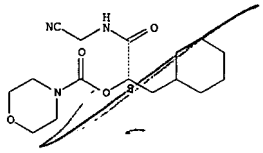
Absolute stereochemistry.



RN 477938-86-6 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

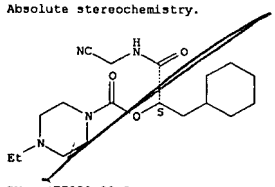
Absolute stereochemistry.

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



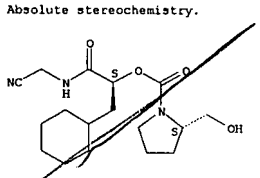
RN 477938-87-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-ethyl-, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477938-88-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-(hydroxymethyl)-, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester, (2S)- (9CI) (CA INDEX NAME)

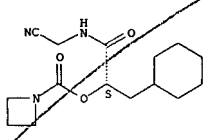
Absolute stereochemistry.



RN 477938-92-4 CAPLUS
CN 1-Azetidinecarboxylic acid, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

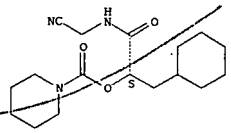
Absolute stereochemistry.

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



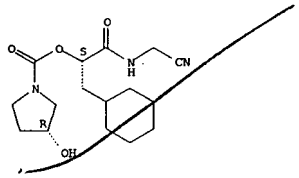
RN 477938-94-6 CAPLUS
CN 1-Piperidinecarboxylic acid, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477938-96-8 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-hydroxy-, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester, (3R)- (9CI) (CA INDEX NAME)

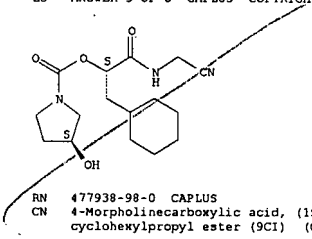
Absolute stereochemistry.



RN 477938-97-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-hydroxy-, (1S)-2-[(cyanomethyl)amino]-1-(cyclohexylmethyl)-2-oxoethyl ester, (3S)- (9CI) (CA INDEX NAME)

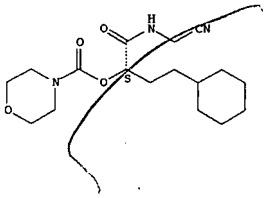
Absolute stereochemistry.

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 477938-98-0 CAPLUS
CN 4-Morpholinecarboxylic acid, (1S)-1-[[(cyanomethyl)amino]carbonyl]-3-cyclohexylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:695723 CAPLUS
DOCUMENT NUMBER: 137:232908
TITLE: Preparation of N-cyanomethyl amides as cathepsin cysteine protease inhibitors
INVENTOR(S): Prasit, Petpiboon; Bayly, Christopher Ian; Robichaud, Joel Stephane; Black, W. Cameron; Setti, Eduardo L.; Rydzewski, Robert M.; Palmer, James T.
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.; PE Corporation (NY); AXYS Pharm. Inc.
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002069901	A2	20020912	WO 2002-US6533	20020301
WO 2002069901	A3	20031030		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2439415	A1	20020912	CA 2002-2439415	20020301
EP 1372655	A2	20040102	EP 2002-723314	20020301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531486	T	20041014	JP 2002-569079	20020301
US 2004198982	A1	20041007	US 2003-469430	20030828
US 7012075	B2	20060314		
PRIORITY APPLN. INFO.:			US 2001-272799P P	20010302
			WO 2002-US6533 W	20020301

OTHER SOURCE(S): MARPAT 137:232908

AB The invention relates to a novel class of compds. R5-(E)n-D-X-CR3R4CONHCR1R2CN [R1 = H, (halo)alkyl, or (halo)alkenyl or R1R2C is a cycloalkyl ring optionally substituted by alkyl, hydroxyalkyl, or halogen; R3, R4 = H, alkyl or alkenyl optionally substituted by cycloalkyl or halogen or R3R4C is cycloalkyl, cycloalkenyl or heterocyclyl optionally substituted by alkyl, halo, hydroxyalkyl, hydroxy, alkoxy, or keto; X = NH, NR6, NHSO2, O, CR7R8O, OCR7R8, CR7R8CR7R8O, S, SO2, CR7R8S, SCR7R8, CR7R8SO2, SO2CR7R8, CR7R8, CR7R8NR7, NR7CR7R8, where R6 = alkyl or R6 and R4 form a 4-12 membered heterocyclyl ring system which is optionally substituted and R7, R8 = H or alkyl; D, E = (un)substituted aryl, heteroaryl, cycloalkyl, or heterocyclyl; n = 1-2; R5 = H, alkyl, alkenyl, alkoxy, halo, nitro, cyano, amino, aryl, heteroaryl, cycloalkyl, heterocyclyl, CO2H, OH, alkoxy, SH, sulfonyl groups, etc.] and their pharmaceutically-acceptable salts and N-oxide derivs. are cysteine

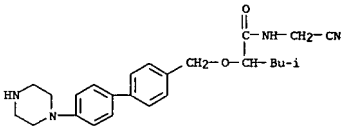
C4H9N2-p-C6H4-p-C6H4-L-Leu-NHCH2CN (C4H9N2 = 1-piperazinyl) was prepared from L-leucine, 1,4-dibromobenzene, aminoacetonitrile hydrochloride, and 4-[4-(tert-butoxycarbonyl)-1-piperazinyl]phenylboronic acid (preparation

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

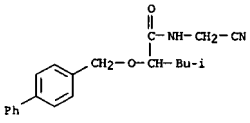
given). The product was used to prep. a pharmaceutical compn.

IT 459160-52-2P 459162-53-9P 459162-77-7P
459162-78-8P 459162-79-9P 459162-82-4P
459162-83-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-cyanomethyl amides as cathepsin cysteine protease inhibitors)

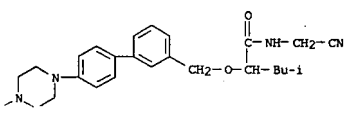
RN 459160-52-2 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4'-(1-piperazinyl)[1,1'-biphenyl]-4-yl]methoxy]- (9CI) (CA INDEX NAME)



RN 459162-53-9 CAPLUS
CN Pentanamide, 2-([1,1'-biphenyl]-4-ylmethoxy)-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)



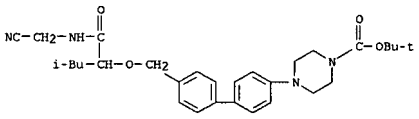
RN 459162-77-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3'-[[1-[[(cyanomethyl)amino]carbonyl]-3-methylbutoxy]methyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



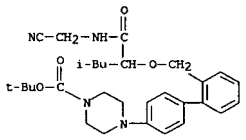
RN 459162-78-8 CAPLUS

Karen Cheng

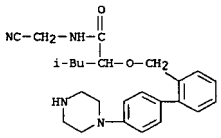
L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 1-Piperazinecarboxylic acid, 4-[4'-[[1-[[(cyanomethyl)amino]carbonyl]-3-methylbutoxy]methyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 459162-79-9 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[2'-[[1-[[(cyanomethyl)amino]carbonyl]-3-methylbutoxy]methyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

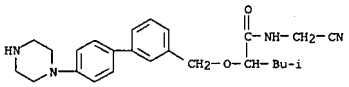


RN 459162-82-4 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4'-(1-piperazinyl)[1,1'-biphenyl]-2-yl]methoxy]- (9CI) (CA INDEX NAME)

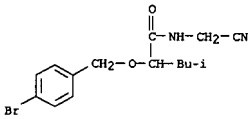


RN 459162-83-5 CAPLUS
CN Pentanamide, N-(cyanomethyl)-4-methyl-2-[[4'-(1-piperazinyl)[1,1'-biphenyl]-3-yl]methoxy]- (9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



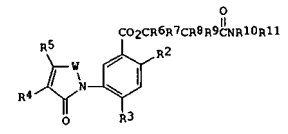
IT 459164-65-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-cyanomethyl amides as cathepsin cysteine protease inhibitors)
RN 459164-65-9 CAPLUS
CN Pentanamide, 2-[(4-bromophenyl)methoxy]-N-(cyanomethyl)-4-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:557664 CAPLUS
DOCUMENT NUMBER: 121:157664
TITLE: 3-aryluracil derivatives and the use thereof for weed control
INVENTOR(S): Winternitz, Paul; Zeller, Martin
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410155	A1	19940511	WO 1993-EP2802	19931012
W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9351509	A	19940524	AU 1993-51509	19931012
EP 618908	A1	19941012	EP 1993-922554	19931012
R: DE, FR, GB				
US 5380701	A	19950110	US 1993-135768	19931012
JP 07502758	T	19950323	JP 1993-510617	19931012
BR 9305683	A	19961203	BR 1993-5683	19931012
PRIORITY APPLN. INFO.:			CH 1992-3310	A 19921023
			WO 1993-EP2802	W 19931012

OTHER SOURCE(S): MARPAT 121:157664
GI



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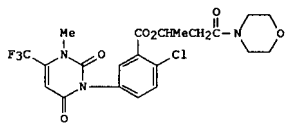
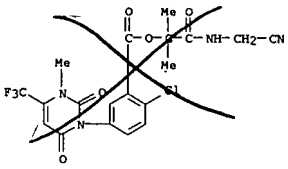


II

AB The title 3-aryluracils I (W = aminocarbonyl group; R2 = halo, cyano, alkyl, etc.; R3 = H, F; R4 = H, halo, alkyl, etc.; R5 = alkyl, haloalkyl, etc.; R6-R9 = H, alkyl, etc.; R10, R11 = H, alkyl, alkenyl, etc.; m = 0-1)

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
were disclosed. An example compd., 1-methyl-3-(4-morpholinyl)-3-oxopropyl 2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1-pyrimidinyl]benzoate (II) was prepd. Compds. I are suitable as active ingredients of herbicidal compns. for weed control.
IT 157307-15-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as herbicide)
RN 157307-15-8 CAPLUS
CN Benzoic acid, 2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-, 2-[(cyanomethyl)amino]-1,1-dimethyl-2-oxoethyl ester (9CI) (CA INDEX NAME)



Karen Cheng